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(54) Title: GLYCINAMIDES AS FACTOR Xa INHIBITORS

(57) Abstract: The present application describes glycinamidic compounds and derivatives thereof, or pharmaceutically acceptable salt forms thereof, which are useful as inhibitors of factor Xa.

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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US02/37212

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/535, 31/44, 31/52, 31/445, 31/42, 31/40; A61P 7/02; C07D 207/00, 211/00, 401/00, 403/00  
US CL : 514/237.2, 266.21, 303, 318, 343, 352, 379, 414, 422, 428; 544/131, 284; 546/119, 194, 276.4, 309

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
U.S. : Please See Continuation Sheet

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6,020,357 A (PINTO et al.) 01 February 2000 (01.02.2000), see entire document.	7, 8 and 14-18

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&"

document member of the same patent family

Date of the actual completion of the international search

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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US02/37212

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claim Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claim Nos.: 1-6 and 9-13  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  
Please See Continuation Sheet
3. ☐ Claim Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐  
☐

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

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### Continuation of Box I Reason 2:

The structural makeup of P<sub>4</sub>, P, M and M<sub>4</sub> variables result in a multitude of permutations which cannot be readily classified and thus no meaningful search can be made for all generic embodiments. Said variables have been searched based on the classification of the working examples.

Present claims 1-6 and 9-13 relate to an extremely large number of possible compounds and their use. In fact, so many options, variables, possible permutations and combinations result in a claimed subject-matter that is so broad in scope that it is rendered virtually incomprehensible and therefore very difficult, if not impossible, to determine the matter for which a protection might legitimately be sought (Art. 6 PCT). Note also that the claimed subject-matter lacks a significant structural element qualifying as the special technical feature that clearly defines a contribution over the art.

Support within the meaning of Art. 6 PCT and disclosure within the meaning of Art. 5 PCT is to be found, however, for only a selection of the compounds. The present application fails to comply with the clarity, conciseness, support and disclosure requirements of the PCT to such an extent that a meaningful search of the claims is impossible. Consequently, the search has been carried out for those parts of the application which do appear to be clear, concise and supported by the description, namely those parts relating to the compounds specified in the examples and the compounds of claims 8 and 15.

### Continuation of B. FIELDS SEARCHED Item 1:

514/237.2, 266.21, 303, 318, 343, 352, 379, 414, 422, 428; 544/131, 284; 546/119, 194, 276.4, 309; 548/241, 467, 527, 567